```
C:\Program Files\Common Files\System\Mapi\1033\NT

| a_1 | a_2 | a_3 | b_2 |
| a_3 | - sv_3 |
| a_4 | - sv_3 |
| a_5 | - sv_3 |
| a_5 | - sv_3 |
| a_6 | - sv_3
```

23 24 38

```
chain bonds :
    5-13 8-13 14-22 15-24 16-17 18-19 20-21 22-23
ring bonds :
    1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12
exact/norm bonds :
    5-13 8-13 14-22 15-24 22-23
exact bonds :
    16-17 18-19 20-21
normalized bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
    containing 1 : 7 :
G1:CH2,NH,[*1-*2],[*3-*4],[*5-*6],[*7-*8],[*9-*10]
Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
    12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS
    21:CLASS 22:CLASS 23:CLASS 24:CLASS 38:Atom 39:CLASS
Generic attributes :
                           : Unsaturated
    Saturation
    Number of Carbon Atoms : less than 7
    Number of Hetero Atoms : less than 2
    Type of Ring System : Monocyclic
Element Count :
```

18 19 20 21 22

9 10 11

chain nodes :

ring nodes :

13 14 15

1 2 3 4

16 17

5 6

Node 38: Limited

C,C4 N,N1 O,O0 S,S0

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1840

L1 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L2 SCREEN CREATED

Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\10671747.str

14 22 14 22 15 24₄ NH CH2 16 176

chain nodes : 13 14 15 16 17 18 19 20 21 22 23 24 38 ring nodes : 5 6 7 8 9 10 11 12 1 2 3 4 chain bonds : 5-13 8-13 14-22 15-24 16-17 18-19 20-21 22-23 ring bonds : $1 - 2 \quad 1 - 6 \quad 2 - 3 \quad 3 - 4 \quad 4 - 5 \quad 5 - 6 \quad 7 - 8 \quad 7 - 12 \quad 8 - 9 \quad 9 - 10 \quad 10 - 11 \quad 11 - 12$ exact/norm bonds : 5-13 8-13 14-22 15-24 22-23 exact bonds : 16-17 18-19 20-21 normalized bonds : $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12$ isolated ring systems : containing 1 : 7 :

10/671,747

G1:CH2,NH,[*1-*2],[*3-*4],[*5-*6],[*7-*8],[*9-*10] Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 38:Atom 39:CLASS Generic attributes : 38: : Unsaturated Saturation Number of Carbon Atoms : less than 7 Number of Hetero Atoms: less than 2 Type of Ring System : Monocyclic Element Count : Node 38: Limited C,C4 N,N1 0,00 S, S0 L3 STRUCTURE UPLOADED => que L3 AND L1 NOT L2 QUE L3 AND L1 NOT L2 L4=> d 14L4 HAS NO ANSWERS SCR 1840 L1SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047 L2L3 STR * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT * Structure attributes must be viewed using STN Express query preparation. QUE L3 AND L1 NOT L2 => s 14 sss sam SAMPLE SEARCH INITIATED 19:06:14 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3845 TO ITERATE 3 ANSWERS 1000 ITERATIONS 26.0% PROCESSED INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01 FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** 73182 TO 80618 PROJECTED ITERATIONS:

433

27 TO

PROJECTED ANSWERS:

L5 3 SEA SSS SAM L3 AND L1 NOT L2

=> => s 14 sss ful FULL SEARCH INITIATED 19:06:44 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 76755 TO ITERATE

100.0% PROCESSED 76755 ITERATIONS SEARCH TIME: 00.00.03

95 ANSWERS

L6 95 SEA SSS FUL L3 AND L1 NOT L2

=> => s 16 L7 10 L6

=> d 17 1-10 bib, ab, hitstr

10/671,747

```
ANSWER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
L7
     2003:319718 CAPLUS
AN
DN
     138:338160
     Preparation of diaminopyrimidines as inhibitors of \beta amyloid
TΤ
     formation or its release
     Himmelsbach, Frank; Fuchs, Klaus; Briem, Hans; Fechteler, Katja; Kostka,
IN
     Markus; Dorner-Ciossek, Cornelia; Bornemann, Klaus; Klinder, Klaus
     Boehringer Ingelheim Pharma K.-G., Germany
PΑ
SO
     PCT Int. Appl., 88 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
FAN.CNT 1
                      KIND DATE
                                           APPLICATION NO. DATE
     PATENT NO.
                      ____
                       A2
                            20030424
                                            WO 2002-EP11345 20021010
     WO 2003032994
PΙ
                      A3
                            20030612
     WO 2003032994
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
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             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                            US 2002-272160
                                                             20021016
                            20030717
     US 2003134838
                      A1
                       Ρ
                            20011017
PRAI US 2001-330128P
     MARPAT 138:338160
OS
     The title compds. [I; R1 = H, alkyl; R2 = (substituted) Ph; R3 =
AΒ
     (substituted) alkyl, cycloalkyl, cycloalkylalkyl, arylalkyl, alkenyl,
     alkynyl; R4 = H, alkyl; or NR3R4 = (substituted) 3-7 membered
     alkylenimino; R5 = NO2, amino, alkylamino, dialkylamino, etc.], were
     prepared Thus, 2-chloro-4-methylamino-5-nitropyrimidine and
     3,4-dichloroaniline were heated in the presence of sulfolane for 45 min at
     160° in an oil bath to give 90% 2-(3,4-dichlorophenylamino)-4-
     methylamino-5-nitropyrimidine. Several I inhibited formation of \boldsymbol{\beta}
     amyloid with IC50 = 4-1100 \mu M.
TT
     515826-60-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (preparation of diaminopyrimidines useful for inhibiting \beta amyloid
        formation or its release)
RN
     515826-60-5 CAPLUS
     2,4-Pyrimidinediamine, N2-(3,4-dichlorophenyl)-N4-methyl-5-(1H-pyrrol-1-
CN
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vl) - (9CI) (CA INDEX NAME)

10/671,747

```
ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
Ь7
AN
     2002:777930 CAPLUS
     137:294968
DN
     Preparation of 4-(1H-pyrrolyl)pyrimidin-2-ylamines as inhibitors of cyclin
TI
     dependent kinases for treating cancer
     Fischer, Peter Martin; Wang, Shudong; Wood, Gavin
IN
     Cyclacel Limited, UK
PΑ
SO
     PCT Int. Appl., 73 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                                           APPLICATION NO.
                                                            DATE
                      KIND DATE
     PATENT NO.
                      ____
                           _____
                                           _____
     WO 2002079193
                     A1 20021010
                                         WO 2002-GB1445
                                                            20020326
PΤ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          EP 2002-718319 20020326
                           20040102
     EP 1373253
                       A1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                            20040302
                                           BR 2002-8447
                                                            20020326
     BR 2002008447
                      Α
     GB 2375534
                       Α1
                            20021120
                                           GB 2002-7229
                                                            20020327
                            20030924
     GB 2375534
                       В2
PRAI GB 2001-7901
                       Α
                            20010329
     WO 2002-GB1445
                       W
                            20020326
     MARPAT 137:294968
OS
     The title compds. [I; one of X1 and X2 = NR10 and the other of X1 and X2 =
AB
     CR9; Z = NH, NHCO, NHSO2, etc.; R1-R3, R9, R10 = H, alkyl, aryl, etc.;
     R4-R8 = H, alkyl, halo, etc.; with the proviso], useful as inhibitors of
     cyclin-dependent kinases (CDKs) and hence useful in the treatment of
     proliferation disorders such as cancer, leukemia, psoriasis and the like,
     were prepared Thus, heating 3-dimethylamino-1-(2,4-dimethyl-1H-pyrrol-3-
     yl)propenone (preparation given) with 4-fluorophenyl guanidine nitrate in the
     presence of NaOH in 2-methoxyethanol at 100-120°C under N2 for 6 h
     afforded 62% II which showed IC50 of 1.0\pm0.7 \mu M against CDK2/cyclin
     467469-98-3P 467470-31-1P
IT
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (drug candidate; preparation of 4-(1H-pyrrolyl)pyrimidin-2-ylamines as
        inhibitors of cyclin dependent kinases for treating cancer)
     467469-98-3 CAPLUS
RN
     2-Pyrimidinamine, 4-(2,4-dimethyl-1H-pyrrol-3-yl)-N-(4-fluorophenyl)-
CN
```

(9CI) (CA INDEX NAME)

RN 467470-31-1 CAPLUS

CN 2-Pyrimidinamine, 4-(2,4-dimethyl-5-nitro-1H-pyrrol-3-yl)-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

$$Me$$
 N
 N
 N

IT 467469-99-4P 467470-00-4P 467470-01-5P 467470-02-6P 467470-03-7P 467470-04-8P 467470-05-9P 467470-06-0P 467470-07-1P 467470-11-7P 467470-12-8P 467470-13-9P 467470-14-0P 467470-15-1P 467470-16-2P 467470-17-3P 467470-18-4P 467470-19-5P 467470-23-1P 467470-21-9P 467470-25-3P 467470-26-4P 467470-27-5P 467470-28-6P 467470-29-7P 467470-33-3P 467470-34-4P 467470-35-5P 467470-36-6P 467470-37-7P 467470-38-8P 467470-39-9P 467470-40-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 4-(1H-pyrrolyl) pyrimidin-2-ylamines as inhibitors of cyclin dependent kinases for treating cancer)

RN 467469-99-4 CAPLUS

CN 2-Pyrimidinamine, 4-(2,4-dimethyl-1H-pyrrol-3-yl)-N-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 467470-00-4 CAPLUS

CN 2-Pyrimidinamine, 4-(2,4-dimethyl-1H-pyrrol-3-yl)-N-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 467470-01-5 CAPLUS

CN 2-Pyrimidinamine, N-(3,4-difluorophenyl)-4-(2,4-dimethyl-1H-pyrrol-3-yl)-(9CI) (CA INDEX NAME)

RN 467470-02-6 CAPLUS

CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-(2,4-dimethyl-1H-pyrrol-3-yl)-(9CI) (CA INDEX NAME)

RN 467470-03-7 CAPLUS

CN 2-Pyrimidinamine, N-(3,5-difluorophenyl)-4-(2,4-dimethyl-1H-pyrrol-3-yl)-(9CI) (CA INDEX NAME)

RN 467470-04-8 CAPLUS

CN Phenol, 4-[[4-(2,4-dimethyl-1H-pyrrol-3-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

RN 467470-05-9 CAPLUS

CN Phenol, 3-[[4-(2,4-dimethyl-1H-pyrrol-3-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

RN 467470-06-0 CAPLUS

CN 2-Pyrimidinamine, N-(2,4-difluorophenyl)-4-(2,4-dimethyl-1H-pyrrol-3-yl)-(9CI) (CA INDEX NAME)

RN 467470-07-1 CAPLUS

CN 2-Pyrimidinamine, N-(2,4-dichlorophenyl)-4-(2,4-dimethyl-1H-pyrrol-3-yl)-(9CI) (CA INDEX NAME)

RN 467470-08-2 CAPLUS

CN 2-Pyrimidinamine, N-[4-chloro-3-(trifluoromethyl)phenyl]-4-(2,4-dimethyl-1H-pyrrol-3-yl)- (9CI) (CA INDEX NAME)

RN 467470-09-3 CAPLUS

CN 2-Pyrimidinamine, 4-(2,4-dimethyl-1H-pyrrol-3-yl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 467470-10-6 CAPLUS
CN 2-Pyrimidinamine, 4-(2,4-dimethyl-1H-pyrrol-3-yl)-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 467470-11-7 CAPLUS CN 2-Pyrimidinamine, N-(3-chlorophenyl)-4-(2,4-dimethyl-1H-pyrrol-3-yl)-(9CI) (CA INDEX NAME)

RN 467470-12-8 CAPLUS
CN 1,4-Benzenediamine, N'-[4-(2,4-dimethyl-1H-pyrrol-3-yl)-2-pyrimidinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-13-9 CAPLUS

CN 2-Pyrimidinamine, N-(3-chloro-4-iodophenyl)-4-(2,4-dimethyl-1H-pyrrol-3-yl)- (9CI) (CA INDEX NAME)

RN 467470-14-0 CAPLUS

CN 2-Pyrimidinamine, 4-(2,4-dimethyl-1H-pyrrol-3-yl)-N-(3-fluoro-4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 467470-15-1 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 3,5-dimethyl-4-[2-[(3-nitrophenyl)amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 467470-16-2 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[(4-fluorophenyl)amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-17-3 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[(4-hydroxyphenyl)amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-18-4 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 3,5-dimethyl-4-[2-[[4-(trifluoromethyl)phenyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 467470-19-5 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[(4-iodophenyl)amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-20-8 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[(3-hydroxyphenyl)amino]-4-pyrimidinyl]-

3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-21-9 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 3,5-dimethyl-4-[2-[(4-methyl-3-nitrophenyl)amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 467470-22-0 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[(3-iodo-4-methylphenyl)amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-23-1 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[(4-chloro-3-methylphenyl)amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-24-2 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[(3-hydroxy-4-methylphenyl)amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-25-3 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[(4-fluoro-3-methylphenyl)amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-26-4 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[(3-fluoro-4-methylphenyl)amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-27-5 CAPLUS

CN 1H-Pyrrole-2-carbonitrile, 4-[2-[[4-(dimethylamino)phenyl]amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-28-6 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(4-fluorophenyl)amino]-4-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

$$H_2N-C$$
 Me
 N
 N
 N
 N

RN 467470-29-7 CAPLUS

CN 2-Pyrimidinamine, 4-(3,5-dimethyl-1H-pyrrol-2-yl)-N-(4-fluorophenyl)-(9CI) (CA INDEX NAME)

RN 467470-30-0 CAPLUS

CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-(1,2,4-trimethyl-1H-pyrrol-3-yl)-(9CI) (CA INDEX NAME)

RN 467470-32-2 CAPLUS

CN 1,4-Benzenediamine, N'-[4-(2,4-dimethyl-5-nitro-1H-pyrrol-3-yl)-2-pyrimidinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 467470-33-3 CAPLUS

CN 2-Pyrimidinamine, 4-(5-amino-2,4-dimethyl-1H-pyrrol-3-yl)-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

$$H_2N$$
 Me
 N
 N
 N

RN 467470-34-4 CAPLUS

CN 2-Pyrimidinamine, 4-(5-bromo-2,4-dimethyl-1H-pyrrol-3-yl)-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 467470-35-5 CAPLUS

CN 2-Pyrimidinamine, 4-(5-bromo-2,4-dimethyl-1H-pyrrol-3-yl)-N-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 467470-36-6 CAPLUS

CN 2-Pyrimidinamine, 4-(5-chloro-2,4-dimethyl-1H-pyrrol-3-yl)-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 467470-37-7 CAPLUS

CN 2-Pyrimidinamine, 4-[5-[(diethylamino)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et}_2\text{N}-\text{CH}_2 & \overset{\text{H}}{\text{N}} & \text{Me} \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 467470-38-8 CAPLUS

CN 2-Pyrimidinamine, 4-[5-[(dimethylamino)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 467470-39-9 CAPLUS

CN 2-Pyrimidinamine, 4-[2,4-dimethyl-5-(4-morpholinylmethyl)-1H-pyrrol-3-yl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 467470-40-2 CAPLUS

CN 2-Pyrimidinamine, 4-[2,4-dimethyl-5-[(4-methyl-1-piperazinyl)methyl]-1H-pyrrol-3-yl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & Me \\ \hline N & CH_2 & Me \\ \hline Me & N & NH \\ \hline \end{array}$$

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7
    ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2002:637673 CAPLUS
DN
     137:185518
TI
     Pyrimidine derivatives as ERK2 inhibitors
     Cao, Jingrong; Green, Jeremy; Hale, Michael; Maltais, Francois; Straub,
     Judy; Tang, Qing; Aronov, Alex
PΑ
    Vertex Pharmaceuticals Incorporated, USA
     PCT Int. Appl., 188 pp.
SO
    CODEN: PIXXD2
DT
     Patent
LΑ
    English
FAN.CNT 1
                     KIND DATE
     PATENT NO.
                                           APPLICATION NO. DATE
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PΙ
    WO 2002064586
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                            20020822
                                           WO 2002-US3791
                                                            20020208
    WO 2002064586
                      АЗ
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             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             TJ, TM
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    EP 1363906
                       A2
                            20031126
                                           EP 2002-724922
                                                            20020208
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    BR 2002007114
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                            20031008
                                           NO 2003-3491
                                                            20030806
PRAI US 2001-267818P
                       Ρ
                            20010209
    US 2001-328768P
                       Ρ
                            20011012
    WO 2002-US3791
                       W
                            20020208
    MARPAT 137:185518
OS
    Pyrimidnes I [Z1, Z2 = N, CH; X = 5-membered heteroarom. ring to which QR2
AΒ
    is attached in the 3-position relative to the pyrimidine ring attachment;
    T, Q = linker group; U = NR4, NR4CO, NR4CONR4, NR4CO2, O, CONR4, CO, CO2,
    O2C, NR4SO2, SO2NR4, NR4SO2NR4, SO2; m, n = 0, 1; R1 = CN, halogen, NR42,
     (un) substituted OH; R2 = (un) substituted alkyl, NH2; R3 = H,
     (un) substituted alkyl, CN; R4 = H, (un) substituted alkyl; NR42 =
     heterocyclic] were prepared for use as inhibitors of ERK2 and for treating
     diseases in mammals that are alleviated by a protein kinase inhibitor,
    particularly diseases such as cancer, inflammatory disorders, restenosis,
    diabetes, and cardiovascular disease. Thus, the pyrimidine II was
     obtained by cyclizing the 3-dimethylamino-2-methylacryloylpyrrole fragment
    with (S)-HOCH2CHPhNHC(:NH)NH2. II had ki <0.1 \muM for inhibition of
    ERK2 in vitro.
IT
    449731-22-0P 449731-23-1P 449731-30-0P
     449731-31-1P 449731-35-5P 449731-39-9P
     449731-53-7P 449731-54-8P 449731-56-0P
     449731-58-2P 449731-59-3P 449731-62-8P
     449731-63-9P 449731-64-0P 449731-65-1P
     449731-66-2P 449731-67-3P 449731-68-4P
     449731-69-5P 449731-70-8P 449731-74-2P
     449731-75-3P 449731-78-6P 449732-13-2P
     449732-18-7P 449732-19-8P 449732-27-8P
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449732-28-9P 449732-30-3P 449732-31-4P 449732-33-6P 449732-42-7P 449732-43-8P

449732-46-1P 449732-50-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as ERK2 inhibitors)

449731-22-0 CAPLUS RN

1H-Pyrrole-2-carboxamide, N-(1,3-benzodioxol-5-ylmethyl)-4-[5-(3,5-CNdichlorophenyl)-2-(phenylamino)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

449731-23-1 CAPLUS RN

CN1H-Pyrrole-2-carboxamide, 4-[5-(3,5-dichlorophenyl)-2-(phenylamino)-4pyrimidinyl]-N-[2-(4-morpholinyl)-2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

449731-30-0 CAPLUS RN

1H-Pyrrole-2-carboxamide, 4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]-N-CN propyl- (9CI) (CA INDEX NAME)

RN 449731-31-1 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 449731-35-5 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449731-39-9 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-(2-aminoethyl)-4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NHPh} \\ & \\ N \\ & \\ N \\ & \\ \text{Me} \end{array} \begin{array}{c} O \\ & \\ || \\ C \\ - \text{NH- CH}_2 \\ - \text{CH}_2 \\ - \text{NH}_2 \\ \end{array}$$

RN 449731-53-7 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[1-(3-chloro-4-fluorophenyl)-2-hydroxyethyl]-4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449731-54-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(3-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449731-56-0 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(3-hydroxyphenyl)amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 449731-58-2 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[[4-(aminosulfonyl)phenyl]amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449731-59-3 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[5-methyl-2-[3-(phenylmethoxy)phenyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449731-62-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[5-cyclopropyl-2-(phenylamino)-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449731-63-9 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[1-(3-fluoro-4-methylphenyl)-2-hydroxyethyl]-4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449731-64-0 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[2-hydroxy-1-[3-(trifluoromethyl)phenyl]ethyl]-4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449731-65-1 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[1-(3-fluoro-4-methylphenyl)-2-hydroxyethyl]-4-[2-[(3-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449731-66-2 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(3-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]-N-[2-hydroxy-1-[3-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

RN 449731-67-3 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[5-methyl-2-[3-(trifluoromethyl)phenyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449731-68-4 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[5-methyl-2-[(phenylmethyl)amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449731-69-5 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(3,4-dimethylphenyl)amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 449731-70-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[5-methyl-2-[[4-(phenylmethoxy)phenyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449731-74-2 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[2-[(2-methoxyphenyl)amino]-5-methyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449731-75-3 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[5-methyl-2-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449731-78-6 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[5-(methoxymethyl)-2-(phenylamino)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449732-13-2 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(2,3-dimethylphenyl)amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449732-18-7 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(3-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-[3-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

$$F_3$$
C N N N N N N N

RN 449732-19-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(2-chlorophenyl)amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-[3-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449732-27-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[[3-(dimethylamino)phenyl]amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-(3-methylphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 449732-28-9 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-(3-methylphenyl)ethyl]-4-[2-[(2-hydroxyphenyl)amino]-5-methyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449732-30-3 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(2,3-dimethylphenyl)amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-(3-methylphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 449732-31-4 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[2-[(3-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-(3-methylphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449732-33-6 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[5-methyl-2-[(2-methylphenyl)amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449732-42-7 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-(3-methylphenyl)ethyl]-4-[5-methyl-2-[(2-methylphenyl)amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449732-43-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-1-(3-chlorophenyl)-2-hydroxyethyl]-4-[5-methyl-2-[(2-methylphenyl)amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 449732-46-1 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[5-methyl-2-[2-(trifluoromethyl)phenyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449732-50-7 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-1-(3-fluorophenyl)-2-hydroxyethyl]-4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 449731-17-3P 449731-38-8P 449731-45-7P 449731-46-8P 449731-55-9P 449731-61-7P 449731-82-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as ERK2 inhibitors)

RN 449731-17-3 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[5-(3,5-dichlorophenyl)-2-(phenylamino)-4-pyrimidinyl]-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2-NH-C$$
 N
 $C1$
 $PhNH$
 N
 $C1$

RN 449731-38-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[5-ethyl-2-(phenylamino)-4-pyrimidinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 449731-45-7 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

RN 449731-46-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]-N-(1-methyl-3-phenylpropyl)- (9CI) (CA INDEX NAME)

NHPh O Me
$$\parallel \parallel \parallel$$
 C $=$ NH $=$ CH $=$ CH2 $=$ Ph Me $=$ H

RN 449731-55-9 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[2-[(3-methoxyphenyl)amino]-5-methyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449731-61-7 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[5-cyclohexyl-2-(phenylamino)-4-pyrimidinyl]-N[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 449731-82-2 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[5-(hydroxymethyl)-2-(phenylamino)-4-pyrimidinyl]-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
L7
ΑN
     2002:449662 CAPLUS
DN
     137:33310
     Preparation of anilinopyrimidines as IKK inhibitors
ΤI
     Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.;
IN
     Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
     Signal Pharmaceuticals, Inc., USA
PΑ
     PCT Int. Appl., 194 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                     KIND DATE
     PATENT NO.
                                            APPLICATION NO. DATE
    WO 2002046171 A2 20020613
                                            WO 2001-US46403 20011205
PI
                      A3
                           20030123
     WO 2002046171
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
             UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003203926
                       A1
                            20031030
                                          US 2001-4642
                                                              20011204
                                           AU 2002-20195
                                                              20011205
     AU 2002020195
                       A5
                            20020618
                            20031008
                                           EP 2001-999564
     EP 1349841
                       A2
                                                              20011205
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                      P
                            20001206
PRAI US 2000-251816P
     WO 2001-US46403
                       W
                            20011205
     MARPAT 137:33310
OS
     The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H,
AΒ
     alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl,
     etc.; a = 0-4] having activity as inhibitors of IKK, particularly IKK-2,
     were prepared E.g., a multi-step synthesis of I [R1 = 4-C1C6H4; R2-R6 = H]
     having an IC50 of \leq 1 \muM in the IKK-2 enzyme assay, was given.
     Such compds. I have utility in the treatment of a wide range of conditions
     that are responsive to IKK inhibition. Thus, methods of treating such
     conditions are also disclosed, as are pharmaceutical compns. containing one or
     more compds. of the above compds.
     434944-89-5P
TT
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of anilinopyrimidines as IKK inhibitors)
RN
     434944-89-5 CAPLUS
     Benzamide, 4-[[4-(1H-pyrrol-2-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX
CN
     NAME)
```

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L7
     ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     2002:449661 CAPLUS
DN
     137:33309
     Preparation of anilinopyrimidines as JNK pathway inhibitors
TΙ
ΙN
     Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.;
     Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
PA
     Signal Pharmaceuticals, Inc., USA
     PCT Int. Appl., 199 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                     ____
                            -----
                                           ______
                      A2
PΙ
     WO 2002046170
                            20020613
                                           WO 2001-US46402 20011205
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
             UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2001-4645
     US 2003220330
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                            20031127
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     AU 2002027214
                       A5
                            20020618
                                           AU 2002-27214
                                                            20011205
     EP 1349840
                       A2
                            20031008
                                           EP 2001-996103
                                                            20011205
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 2000-251904P
                      Ρ
                            20001206
     WO 2001-US46402
                       W
                            20011205
OS
     MARPAT 137:33309
     The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H,
AB
     alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9,
     etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl,
     etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were
     prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H]
     having an IC50 of \leq 10 \mu M in the JNK2 assay, was given. Such
     compds. I have utility in the treatment of a wide range of conditions that
     are responsive to inhibition of the JNK pathway. Thus, methods of
     treating such conditions are also disclosed, as are pharmaceutical compns.
     containing one or more compds. of the above compds.
ΙT
     434944-89-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of anilinopyrimidines as JNK pathway inhibitors)
RN
     434944-89-5 CAPLUS
CN
     Benzamide, 4-[[4-(1H-pyrrol-2-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX
```

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ь7
     ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     1997:457074 CAPLUS
DN
     127:81461
     Preparation of substituted 2-anilinopyrimidines as protein kinase
ΤI
     inhibitors
IN
     Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin;
     Hutchings, Martin Clive
     Celltech Therapeutics Limited, UK; Davis, Peter David; Moffat, David
PA
     Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
SO
     PCT Int. Appl., 83 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                           19970529
PΙ
    WO 9719065
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                                         WO 1996-GB2854 19961120
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             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
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         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
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            MR, NE, SN, TD, TG
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                                                            19961119
    AU 9676314
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                           19970611
                                           AU 1996-76314
                                                            19961120
    EP 862560
                            19980909
                                           EP 1996-939171
                      Α1
                                                            19961120
     EP 862560
                      В1
                           20030402
        R: CH, DE, ES, FR, GB, IT, LI
     ES 2195020
                      T3 20031201
                                          ES 1996-939171
                                                            19961120
     US 6235746
                                          US 1999-249760
                      В1
                           20010522
                                                           19990216
                           19951120
PRAI GB 1995-23675
                      Α
     US 1996-753041
                      A3
                           19961119
     WO 1996-GB2854
                           19961120
                      W
    MARPAT 127:81461
OS
     The title compds. [I; R1 = H, halo, (un) substituted alkyl, etc.; R2, R3 =
AB
     (un) substituted alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = H,
     (un) substituted alkyl, alkenyl, alkynyl; R6 = H, halo, (un) substituted
     NH2, etc.; X = a direct bond, a linker atom, group; R7 = (un)substituted
     aliphatic, cycloaliph., heteroaliph., heterocycloaliph., aromatic or
heteroarom.
     group], selective protein kinase inhibitors, particularly the kinases
     p56lck, p59fyn, ZAP-70 and protein kinase C, and useful in the prophylaxis
     and treatment of immune diseases, hyperproliferative disorders and other
     diseases in which inappropriate protein kinase action is believed to have
     a role, were prepared Thus, treatment of 4-[3-(3-phthalimidopropoxy)phenyl]-
     N-(3,4,5-trimethoxyphenyl)-2-pyrimidineamine with N2H4.H2O in EtOH
     afforded I.2HCl [R1 = MeO; R2, R3 = Me; R4-R6 = H; R7 = H2N(CH2)3; X = O]
     which showed IC50 of 22 nM in the protein kinase assay.
ΙT
     191727-18-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of substituted 2-anilinopyrimidines as protein kinase
        inhibitors)
     191727-18-1 CAPLUS
RN
CN
     2-Pyrimidinamine, 4-(1H-pyrrol-2-yl)-N-(3,4,5-trimethoxyphenyl)- (9CI)
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(CA INDEX NAME)

- L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1996:495435 CAPLUS
- DN 125:184908
- TI Phenylamino-pyrimidine (PAP) derivatives: a new class of potent and selective inhibitors of protein kinase C (PKC)
- AU Zimmermann, Juerg; Caravatti, Giorgio; Mett, Helmut; Meyer, Thomas; Mueller, Marcel; Lydon, Nicholas B.; Fabbro, Doriano
- CS CIBA Pharmaceuticals Div., Oncology Virology Res. Dep., Ciba-Geigy Limited, Basel, CH-4002, Switz.
- SO Archiv der Pharmazie (Weinheim, Germany) (1996), 329(7), 371-376 CODEN: ARPMAS; ISSN: 0365-6233
- PB VCH
- DT Journal
- LA English
- AB Phenylamino-pyrimidines represent a novel class of inhibitors of protein kinase C with a high degree of selectivity vs. other serine/threonine and tyrosine kinases. Steady state kinetic anal. of N-(3-[1-imidazolyl]-phenyl)-4-(3-pyridyl)-2-pyrimidinamine, which showed potent inhibitory activity, revealed competitive kinetics relative to ATP. The adjacent H-bond acceptor of the pyrimidine moiety next to an H-bond donor of the phenylamine was found to be crucial for inhibitory activity. N-(3-Nitro-phenyl)-4-(3-pyridyl)-2-pyrimidinamine preferentially inhibited PKC- α (IC50 = 0.79 μ M) and not the other subtypes tested. The inhibition consts. of PKC- α and the antiproliferative effect on T24 human bladder carcinoma cells showed a qual. correlation, although with some exceptions.
- IT 166306-34-9P

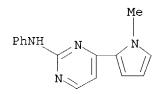
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylamino-pyrimidine derivs. as a new class of potent and selective inhibitors of protein kinase C)

- RN 166306-34-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(1H-pyrrol-2-yl)-N-[3-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

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L7
     ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     1995:735375 CAPLUS
DN
     123:169650
ΤI
     Preparation of N-(fluroralkoxyphenyl)-2-pyrimidineamines as drugs
ΙN
     Zimmermann, Juerg
PA
     Ciba-Geigy A.-G., Switz.
     PCT Int. Appl., 23 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 3
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                     ____
                                          WO 1994-EP3149 19940921
PΙ
     WO 9509852
                     A1 19950413
        W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP,
             KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK,
             TJ, TT, UA, UZ, VN
         RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
            MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
             TD, TG
     US 5543520
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     JP 08504834
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                            19940718
     WO 1994-EP3149
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                           19940921
OS
     CASREACT 123:169650; MARPAT 123:169650
AΒ
     Title compds. [I; R1 = (N-oxido) 4-pyridyl, 3-indolyl, isoquinolyl,
     thienyl, pyrrolyl; R2 = fluoroalkoxy] were prepared as protein kinase C and
     tyrosine kinase inhibitors, etc. Thus, 3-(F2HCF2CO)C6H4NH2 was condensed
     with H2NCN and the guanidine product cyclocondensed with R1COCH: CHNMe2 (R1
     = 4-pyridyl) to give I (R1 = 4-pyridyl, R2 = OCF2CHF2). I had IC50 of
     .apprx.0.1 to 9\mumol/L against protein kinase C in vitro.
IT
     166306-34-9P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of N-(fluroralkoxyphenyl)-2-pyrimidineamines as drugs)
RN
     166306-34-9 CAPLUS
CN
     2-Pyrimidinamine, 4-(1H-pyrrol-2-yl)-N-[3-(1,1,2,2-yl)]
     tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)
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- L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1993:625910 CAPLUS
- DN 119:225910
- TI Preparation of substituted N-phenyl-4-aryl-2-pyrimidinamines as mediator release inhibitors
- AU Paul, Rolf; Hallett, William A.; Hanifin, John W.; Reich, Marvin F.; Johnson, Bernard D.; Lenhard, Robert H.; Dusza, John P.; Kerwar, Suresh S.; Lin, Yang I.; et al.
- CS Med. Res. Div., American Cyanamid Co., Pearl River, NY, 10965, USA
- SO Journal of Medicinal Chemistry (1993), 36(19), 2716-25 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LA English
- AB A series of 4-aryl-2-(phenylamino)pyrimidines I (R = Ph, substituted Ph, R1 = 2-, 3-, 4-pyridyl, 2-, 3-thienyl, 2-, 3-furyl) were prepared as mediator release inhibitors, useful in treatment of asthma and other allergic disorders, and screened using human basophil. I were prepared by condensing heterocycles with R1COMe DMF di-Me acetal to form enaminones which were then cyclized with aryl guanidines RNHC(NH2):NH. After examining a large number of analogs, [(imidazolyl)phenylamino](pyrimidinyl)pyrimidine II was chosen for toxicol. evaluation.
- RN 112722-32-4 CAPLUS
- CN 2-Pyrimidinamine, 4-(1-methyl-1H-pyrrol-2-yl)-N-phenyl- (9CI) (CA INDEX NAME)



- RN 112722-50-6 CAPLUS
- CN 2-Pyrimidinamine, N-(3-methylphenyl)-4-(1H-pyrrol-2-yl)- (9CI) (CA INDEX NAME)

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L7
    ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
AN
    1988:112478 CAPLUS
DN
    108:112478
TI
    Preparation of 4,5,6-substituted 2-pyrimidinamines as allergy inhibitors,
    antiasthmatics, and hypoglycemics
IN
    Torley, Lawrence Wayne; Johnson, Bernard B.; Dusza, John Paul
PA
    American Cyanamid Co., USA
SO
    Eur. Pat. Appl., 94 pp.
    CODEN: EPXXDW
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
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    -----
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PΙ
                                       EP 1987-100277 19870112
    EP 233461
                    A3 19880525
    EP 233461
                    B1 19960320
    EP 233461
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    US 4788195 A 19881129 US 1986-927572
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C 19940525
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                                                       19870113
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B2 19891130
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    AU 591223
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    ZA 8700219 A 19870826
JP 62223177 A2 19871001
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                                        JP 1987-5867
                                                        19870113
                    A2 19871130
    HU 43582
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    CA 1320201
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AU 621461
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                                                        19900228
                    B2 19920312
PRAI US 1986-817951
    US 1986-817951 A
US 1986-927572 A3
                          19860113
                        19861106
OS
    CASREACT 108:112478
AΒ
    The title compds. [I; R1 = H, C1-3 alkyl, Eto2CCO, Et2NCH2CH2; R2 =
    substituted Ph; R3 = Me2NC6H4, AcNMeC6H4, (un) substituted furanyl,
    thienyl, N-containing heteroaryl; R4, R5 = H, C1-3 alkyl] and their pharmacol.
    acceptable salts were prepared for treating asthma and allergic diseases,
    inflammation, and diabetes mellitus. A mixture of 7.04 g
    3-(dimethylamino)-1-(3-pyridinyl)-2-propen-1-one and 18.72 g
    3-F3CC6H4NHC(:NH)NH2.H2CO3 was refluxed 16 h in PrOH to give 5.55 g
    pyridinylpyrimidinamine II. II inhibited histamine release from immunol.
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112676-16-1P 112696-42-1P 112722-31-3P 112722-32-4P 112722-45-9P 112722-50-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as drug)

stimulated human basophils with an IC50 of 0.7 μM . II also gave 58.1% inhibition of lipoxygenase activity in guinea pig neutrophils at 10

RN 112676-16-1 CAPLUS

CN 2-Pyrimidinamine, N-(4-ethylphenyl)-4-(1-methyl-1H-pyrrol-2-yl)- (9CI) (CA INDEX NAME)

RN 112696-42-1 CAPLUS

CN 2-Pyrimidinamine, N-(4-ethylphenyl)-4-(1H-pyrrol-2-yl)- (9CI) (CA INDEX NAME)

RN 112722-31-3 CAPLUS

CN 2-Pyrimidinamine, N-(3-methylphenyl)-4-(1-methyl-1H-pyrrol-2-yl)- (9CI) (CA INDEX NAME)

RN 112722-32-4 CAPLUS

CN 2-Pyrimidinamine, 4-(1-methyl-1H-pyrrol-2-yl)-N-phenyl- (9CI) (CA INDEX NAME)

RN 112722-45-9 CAPLUS

CN 2-Pyrimidinamine, N-phenyl-4-(1H-pyrrol-2-yl)- (9CI) (CA INDEX NAME)

RN 112722-50-6 CAPLUS

CN 2-Pyrimidinamine, N-(3-methylphenyl)-4-(1H-pyrrol-2-yl)- (9CI) (CA INDEX NAME)

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FULL ESTIMATED COST

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